

This Page Is Inserted by IFW Operations  
and is not a part of the Official Record

## **BEST AVAILABLE IMAGES**

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images may include (but are not limited to):

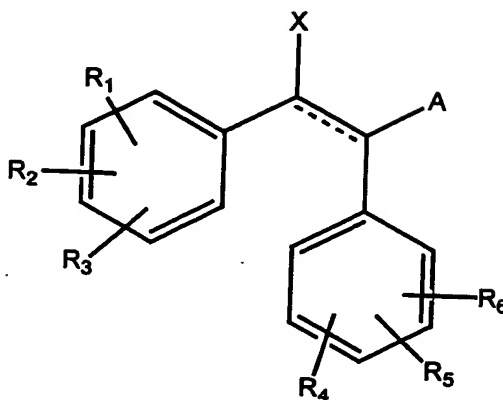
- BLACK BORDERS
- TEXT CUT OFF AT TOP, BOTTOM OR SIDES
- FADED TEXT
- ILLEGIBLE TEXT
- SKEWED/SLANTED IMAGES
- COLORED PHOTOS
- BLACK OR VERY BLACK AND WHITE DARK PHOTOS
- GRAY SCALE DOCUMENTS

**IMAGES ARE BEST AVAILABLE COPY.**

**As rescanning documents *will not* correct images,  
please do not report the images to the  
Image Problem Mailbox.**

## Claims

1. A compound of the formula I:

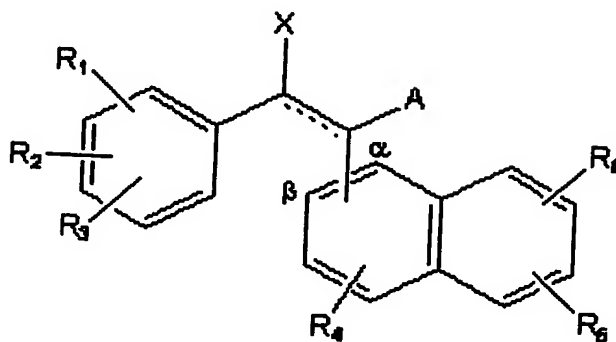


(I)

- 10 wherein the bond represented by the dotted line may be an optional double bond, and the geometry across the bond may be E or Z;
- A=COOR, -CONR'R'', -CN, -COR<sub>7</sub> wherein R, R', R'' and R<sub>7</sub> are defined below;
- X = H, OH, or C<sub>1</sub>-C<sub>10</sub> linear or branched alkyl or alkenyl groups, optionally substituted with COOR, carbonyl, or halo;
- 15 R = H or C<sub>1</sub>-C<sub>20</sub> linear or branched alkyl or aryl or aralkyl, or a pharmaceutically acceptable counter-ion;
- R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are independently H; C<sub>1</sub>-C<sub>20</sub> linear or branched alkyl or alkenyl groups optionally substituted; COOR where R is as defined previously; NR'R'' or CONR'R'', where R' and R'' may be independently H or C<sub>1</sub>-C<sub>20</sub> linear or branched alkyl or aryl; OH; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxy carbonyl; halo; NO<sub>2</sub>; SO<sub>2</sub>R'''; CZ<sub>3</sub>, where each Z is independently a halo atom, H, alkyl, chloro or fluoro-substituted alkyl; or SR''', where R''' may be H or linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or R<sub>2</sub> and R<sub>3</sub> together, or R<sub>5</sub> and R<sub>6</sub> together may be joined to form methylenedioxy or ethylenedioxy groups;
- 20 with the proviso that when X, R<sub>3</sub>, R<sub>5</sub> and R<sub>6</sub> are H; R<sub>4</sub> is p-hydroxy; R<sub>1</sub> and R<sub>2</sub> together are 3,5-dimethoxy; then the dotted line is not a double bond in the E-configuration.

2. A compound according to claim 1 wherein  $A = -COOR$ .

3. A compound of the formula II:



(II)

10 wherein the bond represented by the dotted line may be an optional double bond, the geometry across the bond may be E or Z, and the naphthyl group may be linked at an  $\alpha$  or  $\beta$  position;

$A = -COOR$ ;  $-CONR'R''$ ,  $-CN$ ,  $-COR_7$  wherein  $R$ ,  $R'$ ,  $R''$  and  $R_7$  are defined below;

15  $X = H$ ,  $OH$ , or  $C_1-C_{10}$  linear or branched alkyl or alkenyl groups, optionally substituted with  $COOR$ , carbonyl, or halo;

$R = H$  or  $C_1-C_{20}$  linear or branched alkyl or aryl or aralkyl, or a pharmaceutically acceptable counter-ion;

20  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ ,  $R_6$ , and  $R_7$  are independently  $H$ ;  $C_1-C_{20}$  linear or branched alkyl or alkenyl groups optionally substituted;  $COOR$  where  $R$  is defined previously;  $R$ ;  $NR'R''$  or  $CONR'R''$ , where  $R'$  and  $R''$  may be independently  $H$  or  $C_1-C_{20}$  linear or branched alkyl or aryl;  $OH$ ;  $C_1-C_{20}$  alkoxy;  $C_1-C_{20}$  acylamino;  $C_1-C_{20}$  acyloxy;  $C_1-C_{20}$  alkanoyl;  $C_1-C_{20}$  alkoxycarbonyl; halo;  $NO_2$ ;  $SO_2R'''$ ;  $CZ_3$ ; where each  $Z$  is independently a halo atom,  $H$ , alkyl, chloro or fluoro-substituted alkyl; or  $SR'''$ , where  $R'''$  may be  $H$  or linear or branched  $C_1-C_{20}$  alkyl or  $R_2$  and  $R_3$  together, or  $R_5$  and  $R_6$  together may be joined to form methylenedioxy or ethylenedioxy groups.

4. A compound according to claim 1, wherein A=-COOR, X, R<sub>3</sub>, R<sub>5</sub> and R<sub>6</sub> are H; R<sub>4</sub> is p-hydroxy; R<sub>1</sub> R<sub>2</sub> together are 3,5-dimethoxy; and the dotted line is a double bond in the Z-configuration.

5. A compound according to claim 4, wherein R is H.

6. A compound according to claim 4, wherein R is Na<sup>+</sup>.

7. A compound according to claim 2, wherein R<sub>4</sub> is p-hydroxy; R<sub>1</sub> and R<sub>2</sub> together are 3,5-dimethoxy and the dotted line represents a double bond.

8. A compound according to claim 3, wherein R<sub>1</sub> and R<sub>2</sub> together are 3,5-dimethoxy and the dotted line represents a double bond.

9. A pharmaceutical composition for the treatment of diabetes comprising a therapeutically effective amount of a compound of any one of the claims 1 to 8, or mixtures thereof, in a pharmaceutically acceptable carrier.

10. A composition according to claim 9 which is suitable for oral administration.

11. A method for treating diabetes comprising the step of administering to a subject suffering from a diabetic condition a therapeutically effective amount of a compound according to any one of claims 1 to 8, or mixtures thereof, in a pharmaceutically acceptable carrier.

12. A method according to claim 11 in which said compound is administered orally to said subject.

13. A pharmaceutical composition for the treatment of diabetes comprising a therapeutically effective amount of a compound according to any of claims 1 to 8 in a physiologically acceptable carrier, wherein the bond represented by the dotted line may be an optional double bond, and the geometry across the bond may be E or Z;

R = H, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl, aryl or aralkyl, or a pharmaceutically acceptable counter-ion.

5 14. A composition according to claim 13, wherein R is H or Na<sup>+</sup> and said double bond is in the E-configuration.

15. A composition according to claim 13, wherein R is H or Na<sup>+</sup> and said double bond is in the Z-configuration.

10 16. A composition according to claim 15, wherein R is Na<sup>+</sup>.

17. A composition according to claim 14, wherein R is Na<sup>+</sup>.

15 18. A composition according to claim 13, wherein said composition is suitable for oral administration.

20 19. A method of treating diabetes comprising a step of administering to a subject suffering from a diabetic condition a therapeutically effective amount of a compound according to any of claims 1 to 8 in a physiologically acceptable carrier, wherein the bond represented by the dotted line may be an optional double bond, and the geometry across the bond may be E or Z;

R = H, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl or aryl, or a pharmaceutically acceptable counter-ion.

25 20. A method according to claim 19, wherein R is H or Na<sup>+</sup> and said double bond is in the E-configuration.

30 21. A method according to claim 19, wherein R is H or Na<sup>+</sup> and said double bond is in the Z-configuration.

22. A method according to claim 20, wherein R is Na<sup>+</sup>.
23. A method according to claim 21, wherein R is Na<sup>+</sup>.